

**NOT FOR PUBLICATION**

**UNITED STATES DISTRICT COURT  
FOR THE DISTRICT OF NEW JERSEY**

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WYETH, et al.

Plaintiffs,

v.

Civil Action No. 08-230 (JAP)

ABBOTT LABORATORIES, et al.

Defendants.

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WYETH, et al.

Plaintiffs,

v.

Civil Action No. 08-1021 (JAP)

MEDTRONIC, INC., et al.

Defendants.

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**OPINION**

PISANO, District Judge.

These are patent infringement actions in which Plaintiffs Wyeth and Cordis Corporation (“Plaintiffs”) allege infringement of U.S. Patent No. 5,516,781 (the “‘781 patent”), entitled “Method of Treating Hyperproliferative Vascular Disease,” and U.S Patent No. 5,563,146 (the “‘146 patent”, together with the ‘781 patent, the “Morris patents”), entitled “Method of Treating Restenosis with Rapamycin,” which are directed to the use of rapamycin for the treatment and prevention of restenosis, *i.e.*, the re-narrowing of a blood vessel after the narrowed vessel is, for example, treated with angioplasty. Presently before

the Court are motions for summary judgment of invalidity under 35 U.S.C. § 112 filed by Defendants Boston Scientific Corporation and Boston Scientific Scimend, Inc. (together, “BSC”), and Abbott Laboratories, Abbott Cardiovascular Systems Inc., Abbott Laboratories, Inc. (together, “Abbott”), Medtronic, Inc., Medtronic Vascular, Inc., and Medtronic USA, Inc. (together, “Medtronic”).<sup>1</sup> The Court has carefully considered the submissions of the parties and the argument of counsel. For the reasons below, the Court finds the ‘146 and ‘781 patents invalid for failure to meet the written description and enablement requirements of § 112 and grants Defendants’ motions.

## **I. Background**

### **A. Drug Eluting Coronary Stents**

The accused products in this action are drug-eluting coronary stents used in the treatment of coronary artery disease. Plaintiffs’ product is the CYPHER drug-eluting stent (the “Cypher stent”), which was the first drug-eluting stent approved by the Food and Drug Administration and sold in the United States. The accused products are the XIENCE V Everolimus Eluting Coronary Stent System (the “Xience stent”), which is manufactured and sold by Abbott, the PROMUS drug-eluting stent, (the “Promus stent”) which is a private-label version of the Xience stent that is sold by BSC, and the ENDEAVOR Zotarolimus-Eluting Coronary Stent System (the “Endeavor stent”), which is manufactured and sold by Medtronic.

Recently, in a case involving several of the same parties to the instant suit, the Federal Circuit described the background of the drug-eluting stent technology:

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<sup>1</sup> Two motions were filed; one by BSC and the other by Abbott/Medtronic. The Abbott, Medtronic and BSC have indicated that each joined in the other’s motion.

Coronary artery disease is caused, in part, by atherosclerosis, a build-up of arterial plaque. Atherosclerosis limits the flow of blood and oxygen to the heart and can result in chest pain, blood clots, heart attacks, and other ailments.

In 1977, physicians first used a procedure called balloon angioplasty to reopen arteries closing because of atherosclerosis. During the procedure, the physician inserts a balloon catheter into an artery near the patient's groin and threads the catheter through the artery to the site of the blockage. The physician then inflates the balloon to reopen the narrowed artery. In many balloon angioplasty patients, the opened artery narrows again—a process known as restenosis. One of the key components of restenosis is a phenomenon called neointimal proliferation, wherein the smooth muscle cells of the artery multiply over time in response to injury caused by the inflation of the balloon. The result of neointimal proliferation is the renarrowing of the artery.

In the 1980s, physicians began using bare metal coronary stents to support the artery after the physician deflates the balloon. Although these bare metal coronary stents prevented the collapse of the artery and constriction due to scarring, restenosis remained a problem because the bare metal stents did not prevent neointimal proliferation.

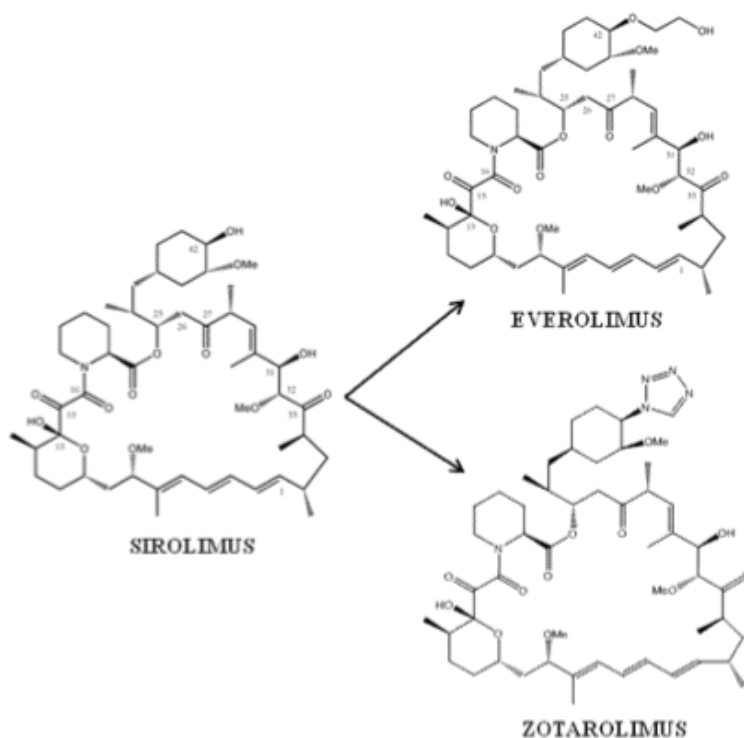
Researchers turned to a myriad of techniques in an attempt to prevent restenosis following balloon angioplasty ... [including] experimenting with drug-eluting stents in an effort to prevent restenosis. Researchers believed that the drugs contained on such stents could help prevent neointimal proliferation. Cordis's Cypher stent was the first drug-eluting stent approved by the United States Food and Drug Administration (FDA) and sold in the United States.

*Boston Scientific Corp. v. Johnson & Johnson*, 647 F.3d 1353, 1356-57 (Fed. Cir. 2011).

The therapeutic agent in Plaintiffs' Cypher stent is a rapamycin compound known as "sirolimus," which is derived from the fermentation product of a particular strain of the bacterium *Streptomyces hydroscopicus*. There are 144 atoms in the sirolimus molecule, which includes 79 hydrogen atoms, 51 carbons, 13 oxygen atoms, and one nitrogen atom. In order to inhibit neointimal hyperplasia, portions of the sirolimus molecule bind to a protein called FKBP-12 and that the resulting complex binds to a protein kinase called mTOR (*i.e.*,

mammalian target of rapamycin), which regulates cell growth and proliferation.

The therapeutic agent in Abbott's Xience stent and BSC's Promus stent is a sirolimus derivative known as "everolimus." Medtronic's Endeavor stent which uses another sirolimus derivative known as "zotarolimus." Everolimus and zotarolimus are derived from modifying sirolimus in one location. Sirolimus, everolimus and zotarolimus are used with the stent to prevent restenosis after implantation of the stent. Each of these compounds are depicted below:



#### B. The Patents-In-Suit

In the early 1990's, Randall Morris, a physician, and Clare Gregory, a veterinary researcher, were conducting experiments relating to the prevention of organ transplant rejection when they discovered rapamycin's potential use for the treatment of coronary artery disease. These researchers conducted a series of experiments that involved inserting a

balloon catheter into a blood vessel of a rat and then inflating and moving the balloon, thus causing injury to the arterial wall. Morris and Gregory tested sirolimus by injecting it into the abdomen of the rats and found that it reduced the narrowing of the rat arteries following the balloon injury. They presented their findings to Wyeth,<sup>2</sup> and a patent application was filed January 9, 1992.

The Morris patents generally relate to methods of preventing and treating hyperproliferative vascular diseases such as restenosis through the administration of rapamycin. Both patents derive from the same parent application and they share a common written description. The asserted claims in this litigation are claims 1 and 2 of the '781 patent and claim 1 of the '146 patent. The '781 patent claims methods for treating (claim 1) and preventing (claim 2) "restenosis in a mammal resulting from said mammal undergoing a percutaneous transluminal coronary angioplasty procedure which comprises administering an antirestenosis effective amount of rapamycin to said mammal orally, parenterally, intravascularly, intranasally, intrabronchially, transdermally, rectally, or via a vascular stent impregnated with rapamycin." '781 patent claims 1 and 2. The '146 patent, which is applies to a broader range of procedures but is otherwise identical to claim 2 of the '781 patent, claims a method of "preventing restenosis in a mammal resulting from said mammal undergoing a vascular catheterization, vascular scraping, vascular surgery, or laser treatment procedure which comprises administering an antirestenosis effective amount of rapamycin to said mammal orally, parenterally, intravascularly, intranasally, intrabronchially, transdermally, rectally, or via a vascular stent impregnated with rapamycin." '146 patent,

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<sup>2</sup> At the time of the inventors' work, Wyeth owned the rights to the sirolimus. In exchange for assigning Wyeth the intellectual property arising from his work with sirolimus, Morris obtained the compound from Wyeth.

claim 1.

### C. Relevant Claim Construction

During the *Markman* phase of this litigation, the parties vigorously disputed the meaning of the term “rapamycin” in the asserted claims. Defendants argued that the term should be limited to the single compound sirolimus. Plaintiffs, on the other hand, took the position that the term “rapamycin” as used by the inventors had a much broader definition and argued that the term embraced a genus of sirolimus analogs. Plaintiffs argued that “rapamycin” in the Morris patents meant ““a compound containing a macrocyclic triene ring structure produced by *Streptomyces hygroscopicus*, having immunosuppressive and anti-restenotic effects.” Ultimately, the Court concluded that Plaintiff’s proposed construction was correct and construed “rapamycin” to mean “a compound containing a macrocyclic triene ring structure produced by *Streptomyces hygroscopicus*, having immunosuppressive and anti-restenotic effects.”

### D. The Parties’ Motions<sup>3</sup>

Defendants have moved for summary judgment asserting that the Morris patents are invalid because the patents fail to meet the enablement and written description requirements of 35 U.S.C. § 112. The parties advance several arguments in support their motion. First, Defendants argue that the asserted claims are invalid because the rectal and transdermal administration routes are not adequately described or enabled. Second, Defendants argue that the claimed “stent impregnated” with rapamycin is not adequately described or enabled. Last, based on the Federal Circuit’s recent decision in *Boston Scientific Corp. v. Johnson &*

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<sup>3</sup> While Abbott/Medtronic and BSC have filed separate motions, each party has joined in the others’ motions. Accordingly, for convenience, the Court shall refer to the motions collectively as, for example, “Defendants’ motions”.

*Johnson*, 647 F.3d 1353 (Fed. Cir. 2011), Defendants argue that the asserted claims are invalid because the patents fail to adequately describe or enable administration of sirolimus analogs to treat restenosis

## **II. Legal Standards**

### **A. Summary Judgment Standard**

A court shall grant summary judgment under Rule 56 of the Federal Rules of Civil Procedure “if the movant shows that there is no genuine dispute as to any material fact and the movant is entitled to judgment as a matter of law.” Fed. R. Civ. P. 56(a). The substantive law identifies which facts are critical or “material.” *Anderson v. Liberty Lobby, Inc.*, 477 U.S. 242, 248 (1986). A material fact raises a “genuine” issue “if the evidence is such that a reasonable jury could return a verdict” for the non-moving party. *Healy v. N.Y. Life Ins. Co.*, 860 F.2d 1209, 1219 n.3 (3d Cir. 1988).

On a summary judgment motion, the moving party must show, first, that no genuine issue of material fact exists. *Celotex Corp. v. Catrett*, 477 U.S. 317, 323 (1986). If the moving party makes this showing, the burden shifts to the non-moving party to present evidence that a genuine fact issue compels a trial. *Id.* at 324. The non-moving party must then offer admissible evidence that establishes a genuine issue of material fact, *id.*, not just “some metaphysical doubt as to the material facts.” *Matsushita Elec. Indus. Co. v. Zenith Radio Corp.*, 475 U.S. 574, 586 (1986).

The Court must consider all facts and their logical inferences in the light most favorable to the non-moving party. *Pollock v. American Tel. & Tel. Long Lines*, 794 F.2d 860, 864 (3d Cir. 1986). The Court shall not “weigh the evidence and determine the truth of the matter,” but need determine only whether a genuine issue necessitates a trial. *Anderson*,

477 U.S. at 249. If the non-moving party fails to demonstrate proof beyond a “mere scintilla” of evidence that a genuine issue of material fact exists, then the Court must grant summary judgment. *Big Apple BMW v. BMW of North America*, 974 F.2d 1358, 1363 (3d Cir. 1992).

#### B. Written Description and Enablement Requirements

One of the statutory conditions for patentability under the Patent Act is adequate disclosure of the invention. As set forth in Section 112 of Title 35,

[t]he specification shall contain a written description of the invention, and of the manner and process of making and using it, in such full, clear, concise, and exact terms as to enable any person skilled in the art to which it pertains, or with which it is most nearly connected, to make and use the same, and shall set forth the best mode contemplated by the inventor of carrying out his invention.

35 U.S.C. § 112. The Federal Circuit has interpreted § 112 as imposing a number of separate disclosure requirements, two of which are relevant to this case. The first is known as the written description requirement, found in the first sentence of Section 112, which requires that the specification contain an adequate “written description of the invention.” 35 U.S.C. § 112; *see also Ariad Pharms., Inc. v. Eli Lilly & Co.*, 598 F.3d 1336, 1353-54 (Fed. Cir. 2010) (en banc) (“[A] separate requirement to describe one’s invention is basic to patent law. Every patent must describe an invention. It is part of the *quid pro quo* of a patent; one describes an invention, and, if the law’s other requirements are met, one obtains a patent. The specification must then, of course, describe how to make and use the invention (*i.e.*, enable it), but that is a different task.”).

“[T]he purpose of the written description requirement is to ‘ensure that the scope of the right to exclude, as set forth in the claims, does not overreach the scope of the inventor’s

contribution to the field of art as described in the patent specification.” *Ariad Pharms., Inc. v. Eli Lilly & Co.*, 598 F.3d 1336, 1353-54 (Fed.Cir.2010) (en banc). It “serves both to satisfy the inventor’s obligation to disclose the technologic knowledge upon which the patent is based and to demonstrate that the patentee was in possession of the invention that is claimed.” *Capon v. Eshhar*, 418 F.3d 1349, 1357 (Fed. Cir. 2005).

As stated by the Federal Circuit, “[t]he test for sufficiency of a written description is whether the disclosure clearly allow[s] persons of ordinary skill in the art to recognize that [the inventor] invented what is claimed.” *Crown Packaging Technology, Inc. v. Ball Metal Beverage Container Corp.*, 635 F.3d 1373, 1380 (Fed. Cir. 2011) (internal quotations omitted, alterations in original). The “hallmark of written description is disclosure,” and a court examining the sufficiency of a written description must make “an objective inquiry into the four corners of the specification from the perspective of a person of ordinary skill in the art.” *Ariad*, 598 F.3d at 1351. To pass muster under that inquiry, “[t]he disclosure must reasonably convey[ ] to those skilled in the art that the inventor had possession of the claimed subject matter as of the filing date.” *Crown*, 635 F.3d at 1380 (internal quotations omitted, alteration in original). Said another way, “the specification must describe an invention understandable to that skilled artisan and show that the inventor actually invented the invention claimed.” *Ariad*, 598 F.3d at 1351.

“[D]etermining whether a patent complies with the written description requirement will necessarily vary depending on the context.” *Id.* The requirement “must be applied in the context of the particular invention and the state of the knowledge.” *Capon v. Eshhar*, 418 F.3d 1349, 1358 (Fed. Cir. 2005). The inquiry into the written description requirement is a question of fact, however, it is “amenable to summary judgment in cases where no

reasonable fact finder could return a verdict for the non-moving party.” *Boston Scientific Corp. v. Johnson & Johnson*, 647 F.3d 1353, 1361 (Fed. Cir. 2011) (quoting *PowerOasis, Inc. v. T-Mobile USA, Inc.*, 522 F.3d 1299, 1307 (Fed. Cir. 2008)). To prevail, Defendants must provide clear and convincing evidence that persons skilled in the art would not recognize in the disclosure a description of the claimed invention. *Centocor Ortho Biotech, Inc. v. Abbott Laboratories*, 636 F.3d 1341, 1347 (Fed. Cir. 2011) (presumption of validity overcome only by clear and convincing evidence).

Separate from the written description requirement is the “enablement” requirement codified in § 112. “To be enabling, the specification of a patent must teach those skilled in the art how to make and use the full scope of the claimed invention without ‘undue experimentation.’” *ALZA Corp. v. Andrx Pharmaceuticals, LLC*, 603 F.3d 935, 940 (Fed. Cir. 2010) (quoting *Genentech Inc. v. Novo Nordisk A/S*, 108 F.3d 1361, 1365 (Fed. Cir. 1997). “Enablement is not precluded where a ‘reasonable’ amount of routine experimentation is required to practice a claimed invention, however, such experimentation must not be ‘undue.’” *Id.* In *In re Wands*, 858 F.2d 731, 735 (Fed. Cir. 1988), the Federal Circuit set forth the following factors that a court may consider when determining if a disclosure requires undue experimentation:

(1) the quantity of experimentation necessary, (2) the amount of direction or guidance presented, (3) the presence or absence of working examples, (4) the nature of the invention, (5) the state of the prior art, (6) the relative skill of those in the art, (7) the predictability or unpredictability of the art, and (8) the breadth of the claims.

858 F.2d at 737. A court need not consider all of the *Wands* factors in its analysis, but rather, a court is only required to consider those factors relevant to the facts of the case. *See Amgen, Inc. v. Chugai Pharm. Co., Ltd.*, 927 F.2d 1200, 1213 (Fed. Cir. 1991).

Importantly, to fulfill the enablement requirement, the full scope of each claim must be enabled. *Sitrick v. Dreamworks, LLC*, 516 F.3d 993, 999 (Fed. Cir. 2008).

Enabling the full scope of each claim is part of the *quid pro quo* of the patent bargain. A patentee who chooses broad claim language must make sure the broad claims are fully enabled. The scope of the claims must be less than or equal to the scope of the enablement to ensure that the public knowledge is enriched by the patent specification to a degree at least commensurate with the scope of the claims.

*Id.* It is not sufficient for the specification to provide merely “a starting point, a direction for further research”; it must provide “reasonable detail” sufficient to enable a person of ordinary skill in the art to make or use the invention. *Automotive Technologies Intern., Inc. v. BMW of North America, Inc.*, 501 F.3d 1274, 1284 (Fed. Cir. 2007). Whether the enablement requirement has been satisfied is a question of law based upon underlying facts, and is determined as of the patent’s effective filing date. *Sitrick*, 516 F.3d at 999. Although a patent claim is presumed enabled unless proven otherwise by clear and convincing evidence, *Ormco Corp. v. Align Tech., Inc.*, 498 F.3d 1307, 1317-18 (Fed. Cir. 2007), to defeat a motion for summary judgment the non-moving must put forth evidence that does “more than simply raise some doubt regarding enablement: ‘If the evidence is merely colorable, or is not significantly probative, summary judgment may be granted.’ ” *Johns Hopkins Univ. v. CellPro, Inc.*, 152 F.3d 1342, 1359 (Fed. Cir. 1998) (quoting *Anderson v. Liberty Lobby, Inc.*, 477 U.S. 242, 249-50.).

### **III. Analysis**

#### **A. Rectal and Transdermal Administration**

##### **1. Written Description**

Among other methods, the claims asserted in this action recite methods of treating or

preventing restenosis by administering an “antirestenosis effective amount” of rapamycin “rectally” or “transdermally.” Rectal administration is a systemic<sup>4</sup> form of drug delivery which involves insertion of a drug into the lower gastrointestinal tract via the rectum. The drug is then absorbed through the rectal mucosa and enters the bloodstream. The shared specification of the Morris patents very briefly describes administering rapamycin rectally:

- “Rapamycin, alone or in combination with mycophenolic acid, may be administered rectally in the form of a conventional suppository” (‘781 patent at 11:16-18; ‘146 patent at 11:5-7) and
- “precise dosages for...rectal administration will be determined by the administering physician based on experience with the individual subject treated.” (‘781 patent at 12:17-21; ‘146 patent at 12:16-20).

Transdermal administration is likewise a systemic form of drug delivery, and it involves delivering the active drug ingredient to the bloodstream through the skin. The specification describes administering rapamycin transdermally as follows:

- “Rapamycin, alone or in combination with mycophenolic acid, may also be administered transdermally through the use of a transdermal patch containing the active compound and a carrier that is inert to the active compound, is non toxic to the skin, and allows delivery of the agent for systemic absorption into the blood stream via the skin. The carrier may take any number of forms such as creams and ointments, pastes, gels, and occlusive devices. The creams and ointments may be viscous liquid or semisolid emulsions of either the oil-in-water or water-in-oil type. Pastes comprised of absorptive powders dispersed in petroleum or hydrophilic petroleum containing the active ingredient may also be suitable. A variety of occlusive devices may be used to release the active ingredient into the blood stream such as a semipermeable membrane covering a reservoir

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<sup>4</sup> Typically, drugs can be administered for local or systemic treatments. Wermeling Dep. at 129. Local administration involves placing the drug in close proximity to the affected area, such as administering an anti-itch cream to deliver a drug directly to an area of skin. *Id.* at 129-30. When a drug is delivered systematically, the drug is administered in one location, and then must penetrate the body’s barriers and enter the bloodstream in sufficient amounts to have a therapeutic effect elsewhere in the body. *Id.* at 130.

containing the active ingredient with or without a carrier, or a matrix containing the active ingredient. Other occlusive devices are known in the literature. ('781 patent, col. 11, lines 22-39; '146 patent, col. 11, lines 11-28).

- “precise dosages for...transdermal administration will be determined by the administering physician based on experience with the individual subject treated.” ('781 patent at 12:17-21; '146 patent at 12:16-20).

Defendants note that the specification provides no further information or examples of how to administer rapamycin rectally or transdermally to treat or prevent restenosis, and argue that the limited disclosures in the specification are not sufficient to establish that the inventors had possession of rectal and transdermal delivery modes of rapamycin at the time of filing. In response, Plaintiffs state that compliance with the written description requirement turns on how a person of ordinary skill would have understood the specification. Relying on experts who have opined that a person of ordinary skill, reading the Morris patents in 1992, would have understood that the inventors had possession of rectal and transdermal delivery modes, Plaintiffs argue there exists fact issues that precludes summary judgment. The Court disagrees with Plaintiff and finds that no reasonable jury could conclude that the limited disclosures provided regarding rectal and transdermal administration are sufficient to show that the inventors were in possession of the full scope of the invention claimed.

The written description requirement of § 112 requires an inventor to adequately disclose the claimed invention so as to “allow persons of ordinary skill in the art to recognize that [the inventor] invented what is claimed.” *Ariad Pharms., Inc. v. Eli Lilly & Co.*, 598 F.3d 1336, 1351 (Fed. Cir. 2010) (en banc) (internal quotations omitted). Importantly, “[r]equiring a written description of the invention limits patent protection to those who

actually perform the difficult work of ‘invention’—that is, conceive of the complete and final invention.” *Id.* With this in mind, it is notable that, despite expressly claiming rectal and transdermal routes of administration, neither Dr. Gregory or Dr. Morris knew exactly how to administer rapamycin transdermally or rectally to prevent or treat restenosis. Both inventors testified that they had never administered rapamycin to a mammal rectally or transdermally and did not know whether rapamycin could be administered transdermally or rectally. For example, Dr. Gregory testified:

Q. . . . [I]n May 1994, you personally did not know whether or not rapamycin could actually be administered rectally to successfully treat restenosis in a mammal, did you?

A. I could not be sure.

\* \* \*

Q. Okay. Do you have any information from any source whatsoever indicating that a rapamycin formulation had actually been administered rectally by anyone?

A. I am not aware of any, no.

\* \* \*

Q. And you don’t describe how to deliver rapamycin rectally in your patent, do you?

A. No.

\* \* \*

Q. . . . [I]n May 1994, you didn’t know for a fact whether transdermal administration of rapamycin could actually treat restenosis . . . ?

A. That’s correct.

\* \* \*

Q. Do you have any information from any source that anyone anyplace ever formulated rapamycin for transdermal delivery?

A. Not to my knowledge.

\* \* \*

Q. Sitting here today, do you know whether it is even possible to treat restenosis via a systemic drug delivery in a human being?

A. I do not know.

Ex. 101, Gregory Dep. at 378, 337, 87, 384, 346, 390.

Dr. Morris likewise testified:

Q. Have you ever treated restenosis in a mammal by administering the rapamycin compound rectally?

A. No, I have not.

\* \* \*

Q. Okay. Have you personally ever treated restenosis in a mammal by administering any drug rectally?

A. No.

\* \* \*

Q. . . . Do you know for a certainty that an effective amount of rapamycin can be delivered rectally to a mammal to treat restenosis?

A. Without doing an experiment, I wouldn't be able to know one way or the other. That's the best I can give you. If I said I knew for a certainty that rectally administered rapamycin was effective or was not effective, I wouldn't be telling the truth.

\* \* \*

Q. Are you – have you ever treated restenosis in a mammal by administering any kind of drug transdermally?

A. No, I have not.

Q. Are you aware of anyone, at any time, ever treating restenosis in a mammal by administering any sort of drug transdermally?

A. My – the literature was never directed toward reading those papers, so I'm not aware of anybody succeeding or failing.

\* \* \*

Q. . . . Do you know, sitting here today, whether rapamycin has ever been delivered via transdermal mode of administration to treat any condition in a mammal?

A. I, again, don't have the – the competence or the full knowledge of the literature to know, one way or the other, whether rapamycin, used transdermally, is effective or ineffective.

Morris Dep. at 460, 462, 199, 473-74, 300-301. As noted by one court, “[l]ogically, the inventors could not have described a knowledge that they did not possess.” *Boston Scientific Corp. v. Johnson & Johnson Inc.*, 679 F.Supp.2d 539, 555 (D. Del. 2010).

Nevertheless, Plaintiffs contend that it is sufficient that the inventors believed that scientists with drug formulation and drug delivery experience could readily formulate a rapamycin compound using rectal and transdermal delivery routes. For example, Morris testified that he believed the claimed routes of administration were “standard routes of administration for therapeutics which are included in claims for inventions and are a matter of optimization and routine development.” Morris Dep. at 196. Dr. Gregory testified that it was his understanding that “if you found the right professional, the right specialist, you could probably compound it and formulate it to be delivered by any route.” Gregory Dep. at 86.

The Court rejects Plaintiffs’ contention. One premise apparently underlying Plaintiffs’ argument is that the “invention” of the Morris patents for which an adequate description is required does not include the delivery methods specified in the claims. However, it is axiomatic that the claims define scope of the invention. *See, e.g., Phillips v. AWH Corp.*, 415 F.3d 1303, 1312 (Fed. Cir. 2005) (en banc) (“It is a ‘bedrock principle’ of patent law that ‘the claims of a patent define the invention to which the patentee is entitled the right to exclude.’”); *Computer Docking Station Corp. v. Dell, Inc.*, 519 F.3d 1366, 1374

(Fed. Cir. 2008) (“The words of the claims define the scope of the patented invention.”). The claims here are clear. What is expressly claimed is not merely the use of an effective amount of rapamycin to treat and prevent restenosis, but treating and preventing restenosis by administering rapamycin, *inter alia*, rectally and transdermally. Thus, the “invention” for the purposes of § 112 includes the delivery modes claimed. *See Vas-Cath Inc. v. Mahurkar*, 935 F.2d 1555, 1563 -1564 (Fed. Cir. 1991) (“The invention is, for purposes of the “written description” inquiry, *whatever is now claimed.*”) (emphasis in original).

Moreover, the focus of a § 112 analysis is not merely upon what Plaintiffs here may consider to be the heart or gist of the invention of the Morris patents. As the Federal Circuit has noted: “The test for written description is the same whether the claim is to a novel compound or a novel combination of known elements. The test is the same whether the claim element is essential or auxiliary to the invention.” *BSC I*, 647 F.3d at 1365 (citing *Aro Mfg. Co. v. Convertible Top Replacement Co.*, 365 U.S. 336, 345 (1961) (“there is no legally recognizable or protected ‘essential’ element, ‘gist’ or ‘heart’ of the invention in a combination patent”). Consequently, here § 112 requires the specification to adequately disclose all the delivery modes claimed.

It is true that, while the description of the invention claimed must be sufficient to convey to a skilled artisan that the inventor was in possession of the invention on the date that the patent application was filed, a specification is not required include information that is known and available to one of ordinary skill in the art. *Carnegie Mellon Univ. v. Hoffmann-La Roche Inc.*, 541 F.3d 1115, 1122 (Fed. Cir. 2008). However, here, little was known and available to one of ordinary skill in 1992 about administering rapamycin rectally or transdermally or about formulating rapamycin for administration by these means. It is

undisputed that prior to 1992, no drug had been administered transdermally or rectally to treat or prevent restenosis. Plaintiff's Responsive Statement of Material Facts ("RSMF") at ¶ 5. There were no known rectal or transdermal rapamycin formulations at the time of the filing of the patents and, in fact, rapamycin had not been successfully administered by those means for any purpose. *Id.* ¶¶ 6, 7. Plaintiffs' expert testified that a person of ordinary skill in the art would not have been aware of any transdermal or rectal rapamycin formulations at the relevant time. Wermeling Dep. at 219-220. Given this dearth of knowledge in the art, § 112 demands more from the specification than the Morris patents provide. *See Capon*, 418 F.3d at 1357 ("descriptive text needed" to meet written description requirement "varies with the nature and scope of the invention at issue and with the scientific and technologic knowledge already in existence.") Here, the specification contains no data, examples or other disclosures sufficient to demonstrate that the inventors were in possession of the full scope of their invention.

Finally, the Court finds that Plaintiffs' expert declarations are not sufficient to create a genuine issue of material fact to preclude summary judgment. The declarations are conclusory, and in essence state that because the words of the claims are recited *ipsis verbis* in the specification, the written description requirements are satisfied. For example, with respect to rectal administration, the entirety of Dr. Wermeling's opinion is as follows:

131. The specification of the Morris patents clearly described administering rapamycin ... "rectally" in language that originated from the January 1992 application. Col. 11:7-9; Col. 11:16-22; Col. 12:14-21.

132. ...The specification also explained that rapamycin "may be administered rectally in the form of a conventional suppository." Col. 11:16-18. These disclosures originated from the January 9, 1992 patent application. They would have conveyed to an ordinarily skilled formulator that as of the January 9, 1992 filing date of the Morris patents, the inventors had possession of the

claimed method of treating or preventing restenosis by administering rapamycin ... rectally.

Simply put, conclusory expert opinions do not create a genuine issue of material fact. *See, e.g., Ariad*, 598 F.3d at 1357 n.8 (finding patents invalid despite conclusory expert testimony; “This conclusory testimony...is devoid of any factual content...possession of an invention must be shown by written description in the patent application, and that was not shown here.”); *PowerOasis, Inc. v. T-Mobile USA*, 522 F.3d 1299, 1310 (Fed. Cir. 2008) (affirming summary judgment and rejecting conclusory expert declaration).

In sum, the Court finds that Defendants have shown by clear and convincing evidence that no reasonable jury could find that the patentees have met the written description requirement with respect to rectal and transdermal administration.

## 2. Enablement

Defendants argument that the Morris patents fail to meet the enablement requirement is two-fold. First, Defendants argue that the Morris patents merely set forth the patentees’ hypothesis that restenosis can be treated by administering rapamycin rectally and transdermally and, thus, the patents fail the “how-to-use” prong of the enablement requirement. Second, they contend that it would require undue experimentation for an one of ordinary skill in the art to treat or prevent restenosis with rapamycin rectally and transdermally.

The enablement requirement under 35 U.S.C. § 112 requires that the specification teach an ordinarily skilled artisan how to make and use the full scope of the claimed invention without undue experimentation. The “how-to-use” prong of the enablement requirement is closely related to the utility requirement under 35 U.S.C. § 101, which

requires that the invention be useful. *See Rasmusson v. SmithKline Beecham Corp.*, 413 F.3d 1318, 1323 (Fed. Cir. 2005). Thus, to meet the how-to-use requirement, the specification must establish that the invention achieves its intended purpose.

Relying on *'318 Patent Infring. Litig.*, 583 F.3d 1317 (Fed. Cir. 2009), Defendants argue that although the Morris patents claim methods for treating and preventing restenosis by administering rapamycin rectally and transdermally, the specification fails to enable the invention because it merely sets forth the applicants' hypothesis and suggested direction for further research regarding those two delivery modes. The patent at issue in *'318 Patent Infring. Litig.* concerned a method for treating Alzheimer's disease with the compound galanthamine. A representative claim reads: "[a] method of treating Alzheimer's disease and related dementias which comprises administering to a patient suffering from such a disease a therapeutically effective amount of galanthamine or a pharmaceutically-acceptable acid addition salt thereof." *Id.* at 1320. This claimed method of treatment was based upon the inventor's review of prior art, and the specification provided summaries of a number of scientific papers in which galanthamine had been administered to humans or animals.

Based on the referenced studies, the '318 patent concluded "that it was possible to administer 'an effective Alzheimer's disease cognitively-enhancing amount of galanthamine.'" *Id.* at 1321. Although the one-page specification of the '318 patent provided no test data supporting the patent's statement of utility, the patentee informed the patent examiner that relevant animal testing was underway that would be submitted to the Patent Office. However, the patentee did not learn the results of the testing until after the patent had issued. The results of those tests supported the inventor's conclusions.

The district court found the patent invalid for lack of enablement and the Federal

Circuit affirmed, finding that “[t]he ‘318 patent’s description of using galantamine [sic] to treat Alzheimer’s disease ... does not satisfy the enablement requirement because the ‘318 patent’s application did not establish utility.” *Id.* at 1327. The court concluded that “at the end of the day, the specification, even read in the light of the knowledge of those skilled in the art, does no more than state a hypothesis and propose testing to determine the accuracy of that hypothesis. That is not sufficient.” *Id.* at 1327.

The invalidity finding in *‘318 Patent Infring. Litig.* was grounded in a finding of a lack of utility. However, Defendants argument here does not focus on, indeed it barely even addresses, the issue of utility. In any event, the Court finds the relevant enablement question here is whether a skilled artisan can practice the invention without undue experimentation. The undisputed facts show that the answer to that question is “no.”

As an initial matter, the Court notes that there are several chemical and physical properties that contribute to the challenge of formulating rapamycin and administering rapamycin for a particular indication. The record shows that rapamycin is a large molecule that is substantially insoluble in water and poorly soluble in oils. It has a melting point over 180 degrees, thus it is a solid at room temperature and body temperature. It is lipophilic, which can make it difficult to release from a carrier into human tissue. It is chemically reactive and subject to rapid degradation and decomposition. Evidence shows that its therapeutic activity is very dependent on the vehicle by which the drug is delivered.

It is notable that Wyeth itself struggled to find workable formulations for various delivery methods. In attempting to formulate oral and intravenous dosage forms, Wyeth reported in 1995 that it found rapamycin to be a “major challenge” and “extraordinarily difficult to formulate.” The record documents years of formulation difficulties for Wyeth.

For example, in a patent filed in 1998, Wyeth wrote: “Because of its poor oil and water solubility, only a few formulations of rapamycin have proven satisfactory.” Davis Cert. Ex. 55 at 2:1-2.

Against this background the Court turns to the eight *Wands* factors. As noted earlier, in *In re Wands*, the Federal Circuit identified eight factors for courts to consider when examining the question of undue experimentation: (1) the quantity of experimentation required to practice the full scope of the invention; (2) the amount of direction or guidance disclosed in the patent; (3) the presence or absence of working examples in the patent; (4) the nature of the invention; (5) the state of the prior art; (6) the relative skill of those in the art; (7) the predictability of the art; and (8) the breadth of the claims. *Wands*, 858 F.2d at 737. Weighing these factors, the Court finds that no reasonable fact finder could find the full scope of the Morris patents’ claims to be enabled.

Starting with the eighth factor, there is no dispute that the claims are broad. They cover any and all methods of treating or preventing restenosis rectally and transdermally, *e.g.*, transdermally via patch or skin stripping; rectally via an suppository, enema or foam. *See Wermeling Dep.* at 368-71, 472.

Relevant to factor number three, the specification provides no working examples of rectal or transdermal delivery of rapamycin for the treatment of restenosis. Indeed, the specification provides no working examples of such delivery of rapamycin for any purpose.

Factor number two, the amount of guidance or direction provided by the inventors, also points toward a lack of enablement. There can be no genuine dispute that the disclosures in the specification regarding rectal and transdermal administration are limited, cursory and generic. They provide no specific guidance as to how rapamycin could be

administered rectally and transdermally so that it that would be effective in treating restenosis. For example, the entire description for rectal administration is the direction to use a “conventional suppository,” even though no conventional suppository existed either for the administration of rapamycin or for the treatment of restenosis.

Turning to t factor number five, it is, as discussed earlier, undisputed that at the time of filing, there were no known methods for treating or preventing restenosis rectally or transdermally with any drug. Moreover, there were no known rectal or transdermal formulation of rapamycin. Given this state of the art, more disclosure in the specification is required. *ALZA*, 603 F.3d at 941.

Factor six examines the skill of those in the art. While Plaintiffs and Defendants appear not to dispute that the relevant artisan is highly skilled, Plaintiffs concede that this skilled formulator would not necessarily have experience formulating a drug for rectal or transdermal administration or working with rapamycin.

Factor seven involves the predictability of the art. As one court has noted, “[d]rug delivery is neither a predictable field of art nor a straightforward inquiry,” *Cephalon, Inc. v. Watson Pharms., Inc.*, 769 F. Supp. 2d 729, 753 (D. Del. 2011), and, given the physical and chemical properties of the drug and Wyeth’s own experience attempting to formulate rapamycin, as Defendants point out, this is particularly true of rapamycin.

The Court last addresses factor one, the amount of experimentation necessary to practice the invention. Undisputed evidence leads to the conclusion that a substantial amount of experimentation would be required. First, inventor testimony makes clear that experimentation would be required to develop rectal and transdermal formulations, as the inventors had not done this themselves. Further, there is no dispute Wyeth had trouble

formulating and administering rapamycin for conventional administration (oral and IV) even years after the applications were filed.

Although Plaintiffs provide expert declarations that assert experimentation to develop rectal and transdermal rapamycin formulations to treat restenosis would be routine, the Court finds that these expert opinions fail to create a genuine issue of material fact as to enablement. Plaintiffs cannot use expert testimony to retrospectively cobble together a disclosure using, in particular, references that were never mentioned in the specification, and not shown to be well known in the prior art (indeed, some of the references relied upon post-dated the relevant filing date). “[T]he rule that a specification need not disclose what is well known in the art is merely a rule of supplementation, not a substitute for a basic enabling disclosure.” *ALZA*, 603 F.3d at 940-41 (internal quotations omitted).

Weighing the aforementioned *Wands* factors, the Court finds that based upon undisputed evidence, undue experimentation would be required to practice the full scope of the claimed invention, *i.e.*, to administer rapamycin rectally or transdermally to treat restenosis.

#### B. Impregnated Stent

Defendants have also argued that the Morris patents fail to adequately describe and enable another delivery route, specifically, the claimed “stent impregnated” with rapamycin. Given the Court’s decision regarding the rectal and transdermal delivery methods, it need not reach Defendants’ additional delivery mode arguments.

#### C. Sirolimus Analogs<sup>5</sup>

As noted earlier, “rapamycin” in the Morris patents has been construed as “a

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<sup>5</sup> The Court addresses Defendants’ motion regarding sirolimus analogs in the alternative.

compound containing a macrocyclic triene ring structure produced by *Streptomyces hygroscopicus*, having immunosuppressive and anti-restenotic effects.” Thus, “rapamycin” as referenced in the asserted claims is a genus that includes not only sirolimus, the specific compound tested by Drs. Morris and Gregory, but also certain sirolimus analogs. Defendants argue that the Morris patents neither adequately describe or enable such analogs.

### 1. Written Description

Defendants’ motion with respect to sirolimus analogs is based primarily upon the recent decision of the Federal Circuit in *Boston Scientific Corp. v. Johnson & Johnson*, 647 F.3d 1353 (Fed. Cir. 2011) (“*BSC I*”). In that case, Plaintiffs sued BSC alleging infringement of U.S. Patent Nos. 7,217,286, 7,223,286, 7,229,473 (collectively, the “1997 patents”), and 7,300,662 (the “‘662 patent”) (the “1997 patents” and the “‘662 patent” together, the “Wright-Falotico patents”) which relate to the use of sirolimus as well as sirolimus analogs for the treatment of restenosis. More specifically, the 1997 patents claim drug-eluting stents that use either rapamycin or a macrocyclic lactone analog of rapamycin as the therapeutic agent. The ‘662 patent claims drug-eluting stents using either rapamycin or a macrocyclic triene analog of rapamycin.

The Federal Circuit in *BSC I* affirmed the decision of the district court, which granted summary judgment of invalidity in favor of BSC, finding that with respect to the claimed genus of sirolimus analogs, the Wright-Falotico patents lacked adequate written descriptions.<sup>6</sup> As to the 1997 patents, the *BSC I* court found that their shared specification contained virtually no information regarding macrocyclic lactone analogs of rapamycin:

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<sup>6</sup> While the Federal Circuit did so on written description grounds, the District Court decision rested on both written description and enablement grounds.

While a small number of such analogs were known in the prior art, the claims cover tens of thousands of possible macrocyclic lactone analogs. With no guidance at all in the specification as to how to properly identify or choose the claimed analogs, and in light of the unpredictability and nascent state of using drug-eluting stents to treat restenosis, we agree with the district court that appellants have failed to create genuine issues of material fact.

647 F.3d at 1365.

Finding that the state of the relevant technology was nascent and unpredictable, the court rejected the appellants argument that the state of knowledge in the art was such that a more detailed disclosure in the specification was unnecessary as well as the argument that a known correlation between the structure and function of rapamycin and its analogs provided additional written description support for the claimed genus. Notably, the court explained that “[t]he patent laws do not reward an inventor’s invitation to other researchers to discover which of the thousands of macrocyclic lactone analogs of rapamycin could conceivably work in a drug-eluting stent.” *Id.* at 1367.

The *BSC I* court similarly found that the ‘662 patent failed to adequately describe its claimed “macrocyclic triene analogs.” The court noted even though the relevant technology was still in its infancy (as of 2001), the patent failed to disclose a single member of either the genus of analogs of rapamycin, or the subgenus of “macrocyclic triene analogs” of rapamycin. Given the nascent state of the technology and the lack of any “blaze marks” to indicate that the claimed triene analogs may be of “special interest,” the court found that the written description as to the claimed triene analogs to be insufficient. *Id.* at 1367. Like with the 1997 patents, the court found the functional disclosures in the patent did not save its validity, as “the relationship between the function of rapamycin and its structure was not so well known that it excuses the patentee’s failure to explicitly disclose the claimed subgenus

or any species within the sub-genus.” *Id.* at 1368.

Defendants point to a number of factual findings from *BSC I* that they assert are relevant here:

- [E]ven the minor structural changes to the molecular structure of rapamycin that are necessary to create analogs may have significant and unpredictable effects on functionality. 647 F.3d at 1364.
- [In 1997], very little knowledge existed regarding the use of drug-eluting stents to inhibit restenosis. *Id.*
- “[In 2001], researchers continued to struggle to find compounds that would work in a drug-eluting stent to prevent restenosis”, and “such technology was still in its infancy.” *Id.* at 1367.
- “[T]he mechanism of action of rapamycin was not well known [in 2001].” *Id.* at 1368.

Defendants argue that *BSC I* compels a finding of patent invalidity in the instant case. The Morris patents, like the Wright-Falotico patents, claim the use of sirolimus and also of macrocyclic analogs thereof.<sup>7</sup> Defendants point to two main reasons that a finding of invalidity is warranted in this case. *BSC Brf.* at 19. First, they contend that because the Morris patents substantially pre-date the Llanos patents<sup>8</sup>, the state of the relevant technology at the time of the filing of the Morris patents was even more primitive. Second, they assert that the disclosures in the Morris patents are even more deficient than the Wright-Falotico

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<sup>7</sup> The Wright-Falotico patents used the term “rapamycin” to refer to sirolimus, while the terms “macrocyclic lactone analogs” or “macrocyclic triene analogs” were used to refer to the claimed sirolimus analogs. The Morris patents, on the other hand, use the term rapamycin to refer to both sirolimus and a class of sirolimus analogs.

<sup>8</sup> The Morris patents pre-date 1997 patents by five years and the ‘662 patent by nine years.

patents.

In the present case, the asserted claims claim methods of treating or preventing restenosis using a compounds from the rapamycin genus, specifically compounds “containing a macrocyclic triene ring structure produced by *Streptomyces hygroscopicus*, having immunosuppressive and anti-restenotic effects.” In *BSC I*, the Federal Circuit reiterated the test for determining whether a patent’s written description is sufficient to cover a genus of compounds:

A written description of an invention involving a chemical genus, like a description of a chemical species, “requires a precise definition, such as by structure, formula, [or] chemical name,” of the claimed subject matter sufficient to distinguish it from other materials.

*BSC I*, 647 F.3d at 1365 (quoting *Regents of the Univ. of Cal. v. Eli Lilly & Co.*, 119 F.3d 1559, 1568 (Fed. Cir.1997)) (alteration in original). “[A] sufficient description of a genus requires the disclosure of either a representative number of species falling within the scope of the genus or structural features common to the members of the genus so that one of skill in the art can ‘visualize or recognize’ the members of the genus.” *Id.* While what is required to meet the written description requirement “varies with the nature and scope of the invention at issue, and with the scientific and technologic knowledge already in existence,” *Capon v. Eshhar*, 418 F.3d 1349, 1357 (Fed. Cir. 2005), the court in *BSC I* noted that there are “a number of factors for evaluating the adequacy of the disclosure, including ‘the existing knowledge in the particular field, the extent and content of the prior art, the maturity of the science or technology, [and] the predictability of the aspect at issue,’” *BSC I*, 647 F.3d at 1363 (quoting *Ariad*, 598 F.3d at 1351). According to Defendants, application of the relevant standards with respect to the claimed analogs compels the conclusion that the Morris

patents' claims are invalid for lack of an adequate written description. The Court agrees.

As Defendants note, there is not a single example in the Morris patents of a drug within the rapamycin genus other than sirolimus itself. The inventors' work was limited to sirolimus; every experiment was performed with sirolimus. Although requiring the claimed compound to contain "a macrocyclic triene ring," the patents fail to disclose the structure of any sirolimus analogs and provide no guidance as to where on the sirolimus molecule changes could be made while retaining the molecule's antirestenotic properties. While the specification may demonstrate that the inventors were in possession of sirolimus, it does not demonstrate that they were in possession of any analogs that fall within the umbrella of the claimed "rapamycin."

The other relevant factors enumerated by the Federal Circuit – "the existing knowledge in the particular field, the extent and content of the prior art, the maturity of the science or technology, [and] the predictability of the aspect at issue" – do not help Plaintiffs. For example, there is no legitimate dispute that the inventors recognized that then-existing knowledge regarding rapamycin and its mechanism of action was in a very early stages. In an article published in January 1992, Dr. Morris wrote, "[a]s we scan the knowledge of [sirolimus] that has accumulated over the last 15 years, it is easy to see islands of superficial clarity separated by oceans of ignorance." DeWitt Decl. Ex. 16 at BSC-P-NJ0134024. In the same paper, Morris wrote "[i]f we strive to understand thoroughly the little that is now known about [sirolimus], we will make more efficient and rapid progress toward our goal of understanding all of the important biological effects of this molecule." *Id.* at BSC-P-NJ0133985. In a draft research proposal written in June 1994, Morris wrote that sirolimus's mechanism of action in vivo was "not known" and in another he wrote that it was "not well

understood.” DeWitt Decl. Ex. 17 at MORRIS005094 and Ex. 18 at MORRIS007113. In his deposition, Morris testified that, “[a]t this time [i.e., the early 1990’s], we were just barely beginning to understand how rapamycin works.” DeWitt Decl. Ex. 10 at 62:11-63:17. This record is consistent with the factual findings of the court in *BSC I*, which recognized that even as late as 2001, the technology at issue was in the early stages and unpredictable. *See, e.g.*, 647 F.3d at 1364 (“[E]ven the minor structural changes to the molecular structure of rapamycin that are necessary to create analogs may have significant and unpredictable effects on functionality.”) and 1368 (“[T]he mechanism of action of rapamycin was not well known [in 2001].”)

Contrary to Plaintiffs’ argument, there appears to be no meaningful distinction between the scope of the claimed genus in the instant case and that in *BSC I*. In *BSC I*, the court noted that:

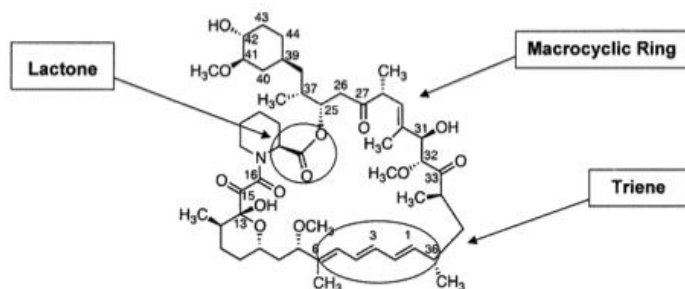
[g]iven the structural complexity of rapamycin (rapamycin contains fifty-one carbon atoms, seventy-nine hydrogen atoms, thirteen oxygen atoms and a nitrogen atom), the universe of potential compounds that are structurally similar to rapamycin and classifiable as macrocyclic lactones is potentially limitless. As noted by the district court, the [Plaintiffs] do not specifically contest that tens of thousands of potential macrocyclic lactone analogs exist.

647 F.3d at 1364. Here, it cannot be reasonably disputed that, structurally speaking, a large number of analogs fall into the rapamycin genus claimed here. For example, former Wyeth scientist Robert Steffan testified that “an infinite number of analogs” can be made just from

the C-42 position of the sirolimus molecule.<sup>9</sup> DeWitt Decl. Ex. 20 at 26:7-8. Testimony from Plaintiffs' expert, Dr. Robert Williams, establishes that it would be possible to create numerous analogs of sirolimus through substitutions at a variety of positions, including C-37 through C-44, without changing the molecule's macrocyclic triene ring. DeWitt Decl. Ex. 5 at 420:9-22.

Plaintiffs argue that the functional limitations imposed by the Court's construction (specifically, that a "rapamycin" have immunosuppressive and antirestenotic effects) serve to distinguish rapamycin from other materials. However, given that numerous analogs that, structurally speaking, fall within the scope of the claims here, the question becomes how to narrow down that universe based on the relevant function. In *BSC I*, the court recognized that "functional claim language can meet the written description requirement when there is an established correlation between structure and function" 647 F.3d at 1366. However, it was found in *BSC I* that, as of at least 1997 (and even as late as 2001) -- five to nine years later than the priority date of the Morris patents, "the alleged correlation between structure and function was not well known." *Id.* This is consistent with inventor testimony in this case, which confirms that conclusion. *See, e.g.,* Morris Dep. at 326 ("we didn't know enough about the biology and structure, activity, relationships to know whether we could or could not

<sup>9</sup> The 51 carbon atoms in the sirolimus molecule can be numbered in various ways. In this Opinion the Court refers to the numbering scheme as shown below, in which the hydroxyl-bearing carbon in the cyclohexane ring is designated C-42:



have substitutions in the macrocyclic triene ring which would or would not impede or obliterate immunosuppressive or antirestenotic injury.”). *See also* Randall E. Morris, *Mechanism of Action of New Immunosuppressive Drugs*, Therapeutic Drug Monitoring, No. 17:564-69 (1995) (“Although [the rapamycin-FKBP12] complex is believed to be necessary for the biological effects of sirolimus, the targets of the complexes are not yet known.”).

The Court rejects Plaintiffs’ argument that the Court should confine its analysis to the handful of known rapamycin compounds described in the prior art. According to Plaintiffs, prior to 1992 at least four (not including sirolimus) compounds were known that met the patent’s definition of a rapamycin.<sup>10</sup> *See* Vaghani Decl. Ex. 3 (42-oxorapamycin); Ex. 62 (41-O-desmethyl-rapamycin); Ex. 38 (Compound 2b and Compound 2c). What is claimed is much broader. Indeed, in its analysis the court in *BSC I* focused on the full scope of potential analogs, both known and unknown at the time. *See BSC I*, 647 F.3d at 1365 (“While a small number of such analogs were known in the prior art, the claims cover tens of thousands of possible macrocyclic lactone analogs.”). This Court does the same.

In sum, there is no dispute that in this case the inventors of the Morris patents used a single compound, specifically, sirolimus. There is no evidence in the specification that they knew how to make or identify the claimed analogs or derivatives within the “rapamycin” genus. *See Ariad*, 598 F.3d at 1353 (inventor must “conceive of the complete and final invention with all its claimed limitations”) ; *Fiers v. Sugano*, 984 F.2d 1164, 1171 (Fed. Cir. 1993) (“[O]ne cannot describe what one has not conceived.”). For the reasons above, the Court finds that no reasonable jury could find that the inventor possessed the full scope of the claimed subject matter and, as such the Court finds that the written description requirement

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<sup>10</sup> According to Plaintiff, two more analogs have been identified since 1992: everolimus and zotarolimus.

has been not met.

## 2. Enablement

Much of what is stated above is relevant to the Court's analysis with respect to enablement. To be enabling, "the specification of a patent must teach those skilled in the art how to make and use the full scope of the claimed invention without undue experimentation." *ALZA Corp.*, 603 F.3d at 940 (internal quotation marks omitted).

Importantly, a patent must contain "sufficient disclosure, either through illustrative examples or terminology, to teach those of ordinary skill how to make and how to use the invention as broadly as it is claimed." *In re Vaeck*, 947 F.2d 488, 496 (Fed. Cir. 1991). Where a claim covers a genus of compounds, the "disclosure must adequately guide the art worker to determine, without undue experimentation, which among all those encompassed by the claimed genus possess the disclosed utility." *Id.* Thus, the question here is whether one skilled in the art, knowing that the claimed sirolimus analogs must contain a macrocyclic triene ring structure and have immunosuppressive and antirestenotic effects, could make and use the full scope of the invention without undue experimentation. The Court finds one could not.

The Court examines the *Wands* factors. As to factors two and three, there can be no dispute that while the Morris patents claim a genus of "rapamycin" compounds, the specification contains no examples, explanations or descriptions of sirolimus analogs by names, structure, formula or otherwise.

Further, with respect to factor eight (breadth of claims), the claims are broad. As noted earlier, the claimed rapamycin genus potentially covers numerous analogs of sirolimus, and is not merely limited to the five of compounds known in 1992 as Plaintiff argues. The

Morris patents cannot claim an entire genus of compounds yet limit the scope of enablement to only a handful of available ones. *See Pharm. Res., Inc. v. Roxane Labs., Inc.*, 2007 WL 3151692 at \* 2 (Fed. Cir. 2007).

Looking at factor seven (predictability), as one court has noted, “the chemical arts have long been acknowledged to be unpredictable.” *Boston Scientific v. Johnson & Johnson*, 679 F. Supp. 2d 539, 557 (D. Del. 2010).

As to factors four (nature of the invention) and six (level of skill in the art), while the relevant person of skill in the art would be highly skilled, there can be no dispute that the invention concerns a very complex chemical. *See id.*

Next the Court turns to factor five (state of the prior art). Contrary to assertions of Plaintiffs, the prior art relevant to this analysis does not solely pertain to the existence and properties of known rapamycin compounds in 1992. The invention of the Morris patents involves using rapamycin to treat restenosis. There can be no dispute that such technology was in its infancy in 1992. *See, e.g., id.* at 557 (“the 1997 patents were filed on the heels of a decade marked by failed attempts to reduce restenosis”).

Plaintiffs here again attempt to claim that there exists genuine issues of material fact with respect to enablement by relying in large part upon expert reports. However, conclusory expert reports cannot create such a fact issue, *see, e.g., Sitrick v. Dreamworks, LLC*, 516 F.3d 993, 1001 (Fed. Cir. 2008) (expert’s conclusory assertions cannot create a genuine issue of material fact on enablement without some support in the patent’s disclosure), nor can such expert opinion compensate for what was not disclosed in the patents (and, in this case, not known by the inventors). A patentee must “provide an adequate enabling disclosure in the specification, it cannot simply rely on the knowledge of a person of ordinary skill to serve as

a substitute for the missing information in the specification.” *ALZA*, 603 F.3d at 941; *see also Auto Techs*, 501 F.3d at 1281 (rejecting the argument that “the knowledge of one skilled in the art was sufficient to supply the missing information” needed for enablement.).

Finally, the Court declines Plaintiffs’ invitation to revise its claim construction. Simply put, Plaintiffs have not provided the Court with any proper basis to set aside a decision on an issue which Plaintiffs themselves prevailed. As the Federal Circuit in *Liebel* observed, “[t]he motto, ‘beware of what one asks for,’ might be applicable here.” 481 F.3d at 1380.

#### **IV. Conclusion**

For the reasons above, the Court grants Defendants’ motions for summary judgment of invalidity for lack of adequate written description and enablement. An appropriate Order accompanies this Opinion.

/s/ JOEL A. PISANO  
Joel A. Pisano, U.S.D.J.

Dated: January 19, 2012